# 

### (19) World Intellectual Property Organization International Bureau



## 

### (43) International Publication Date 4 January 2001 (04.01.2001)

# PCT

English

# (10) International Publication Number WO 01/00587 A1

(51) International Patent Classification?: C07D 235/18, 235/02, 401/12, 403/12, 409/04, 409/14, 453/02, 473/00, 471/04, A61K 31/4184, 31/454, 31/4439, 31/437, 31/506, 31/52, A61P 19/10

(21) International Application Number: PCT/EP00/05881

(22) International Filing Date: 23 June 2000 (23,06,2000)

(25) Filing Language:

(26) Publication Language: English

(30) Priority Data: 9914825.6 24 June 1999 (24.06.1999) GB

(71) Applicants (for all designated States except US):
SMITHKLINE BEECHAM P.L.C. [GB/GB]: New
Horizons Court, Brenford, Middlesex TW8 9EP (GB),
SMITHKLINE BEECHAM S.P.A. [T/T/T]: Via Zambeletti, Bramzate de Bollare, 1-20021 Milan (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): FARINA, Carlo [IT/IT]: SmithKline Beecham Sp.A., Via Zambeletti, Baranzate di Bollate, 1-20021 Milan (IT). GAGLIARDI, Stefania [IT/IT]: SmithKline Beecham Sp.A., Via Zambeletti sn, Baranzate di Bollate, 1-20021 Milan (IT). RAHMAN, Shahzad, Sharooq [GB/GB]: SmithKline

Beecham Pharmaceuticals, New Frontiers Science Park South, Third Avenue, Harlow, Essex CM19 5AW (GB).

- (74) Agents: RUTTER, Keith et al.; SmithKline Beecham, Two New Horizons Court, Brentford, Middlesex, TW8 9EP (GB).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TI, TM, TR, TT, TZ, UA, UG, US, UZ, VN, VI, CA, ZW.
- (84) Designated States (regional): ARPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE), OAPI patent (BF, BJ, CF, CG, CL, CM, GA, GN, GW, ML, MR, NE, NT, TD, TG).

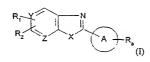
### Published:

- With international search report.
- Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: AZOLYLBENZAMIDES AND ANALOGUES AND THEIR USE FOR TREATING OSTEOPOROSIS

VO 01/00587 A1



associated with over activity of osteoclasts in mammals.

(57) Abstract: A compound of formula (1) or a sail thereof, or a solvate thereof, wherein: X represents oxygen, sulphur, or NR<sub>c</sub>: Y and Z each independently represent nitrogen, CH, CR₁ or CR₂; A represents an unsubstituted or substituted anyl group or an unsubstituted or substituted heterocycly! group: R₂ represents C(O)NR<sub>c</sub>R; R₁ and R₂ each independently represents hydrogen or specific substituents; and the use of such a compound in the terament and/or prorbylaxis of diseases